

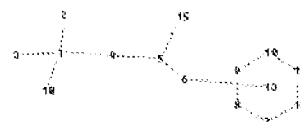
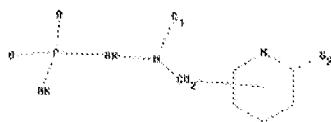
10/576,972

***** Welcome to STN International *****
***** STN Columbus *****

FILE 'HOME' ENTERED AT 16:47:11 ON 08 FEB 2008

=> file reg

=> Uploading C:\Program Files\Stnexp\Queries\Queries\10576972a.str



chain nodes :

1 2 3 4 5 6 15 17 18

ring nodes :

7 8 9 10 11 12

chain bonds :

1-3 1-2 1-4 1-18 4-5 5-6 5-15 11-17

ring bonds :

7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

1-3 1-2 1-4 1-18 4-5 5-6 5-15 7-8 7-12 8-9 9-10 10-11 11-12 11-17

isolated ring systems :

containing 7 :

G1:H,Ak

G2:H,O

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 8:Atom 9:Atom

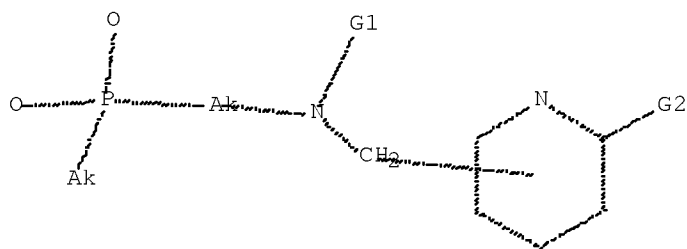
10:Atom 11:Atom 12:Atom 13:CLASS 15:CLASS 17:CLASS 18:CLASS

L8 STRUCTURE UPLOADED

=> dis 18

L8 HAS NO ANSWERS

L8 STR



G1 H, Ak
G2 H, O

=> s l8 sam

L9 0 SEA SSS SAM L8

=> s l8 full

L10 26 SEA SSS FUL L8

=> file caplus

=> s l10

L11 5 L10

=> s l11 and pd< nov 2003

23874039 PD< NOV 2003

(PD<20031100)

L12 4 L11 AND PD< NOV 2003

=> dis l12 ibib abs hitstr

L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:904191 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:37770

TITLE: Preparation of organophosphorous hydroxamic acid derivatives as herbicides

INVENTOR(S): Jomaa, Hassan

PATENT ASSIGNEE(S): Jomaa Pharmaka GmbH, Germany

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

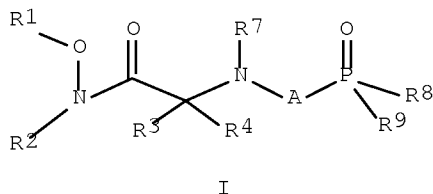
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|--------------|
| WO 2001094358 | A1 | 20011213 | WO 2001-EP6536 | 20010608 <-- |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| DE 10127936 | A1 | 20011213 | DE 2001-10127936 | 20010608 <-- |
| PRIORITY APPLN. INFO.: | | | DE 2000-10028367 | A 20000608 |
| | | | DE 2000-10029800 | A 20000616 |

10/576,972

OTHER SOURCE(S): CASREACT 136:37770; MARPAT 136:37770
GI



AB The invention relates to the preparation and use of title compds. I (A = selected from the group comprised of CR₅R₆, CR₅R₆CH(OH), CR₅R₆CO, COCR₅R₆; R₁ = H, (un)substituted alkyl, alkenyl, alkynyl, acyl, cycloalkyl, alkylcycloalkyl, heterocyclic, etc.; R₂-R₇ = same or different H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, cycloalkyl, alkylcycloalkyl, aralkyl, heterocyclic, etc.; R₈-R₉ = same or different H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, cycloalkyl, alkylcycloalkyl, aralkyl, heterocyclic, etc.), is described. Thus, reaction of glycine Me ester hydrochloride with pentanal followed by H₃PO₃ phosphorylation and sequential treatment with NH₂OH gave title compound, HONHCOCH₂NHCH(Bu)P(O)(OH)₂. The prepared compds. are used as herbicides for selective pre- and post-emergent control of weeds in useful plant cultures.

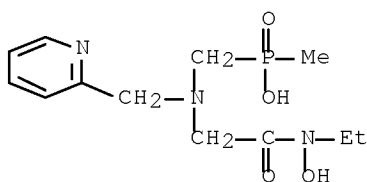
IT 380330-00-7P 380330-02-9P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of organophosphorous hydroxamic acid derivs. useful as herbicide)

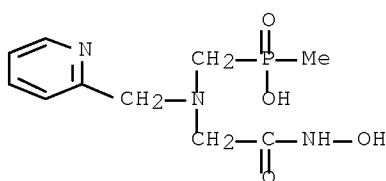
RN 380330-00-7 CAPLUS

CN Phosphinic acid, [[[2-(ethylhydroxyamino)-2-oxoethyl](2-pyridinylmethyl)amino]methyl]methyl- (9CI) (CA INDEX NAME)



RN 380330-02-9 CAPLUS

CN Phosphinic acid, [[[2-(hydroxyamino)-2-oxoethyl](2-pyridinylmethyl)amino]methyl]methyl- (9CI) (CA INDEX NAME)

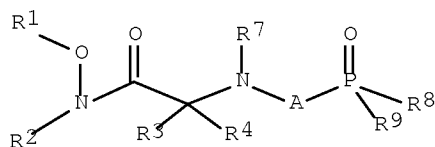


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dis 112 2-4 ibib abs hitstr

L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:903861 CAPLUS Full-text
 DOCUMENT NUMBER: 136:37769
 TITLE: Preparation of organophosphorous hydroxamic acid derivatives useful for producing medicaments
 INVENTOR(S): Jomaa, Hassan
 PATENT ASSIGNEE(S): Jomaa Pharmaka GmbH, Germany
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|--------------------------------------|--------------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2001093872 | A1 | 20011213 | WO 2001-EP6539 | 20010608 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10127922 | A1 | 20011213 | DE 2001-10127922 | 20010608 <-- |
| PRIORITY APPLN. INFO.: | | | DE 2000-10028367 | A 20000608 |
| OTHER SOURCE(S): | | | CASREACT 136:37769; MARPAT 136:37769 | |
| GI | | | | |



I

AB The invention relates to the preparation and use of title compds. I (A = selected from the group comprised of CR₅R₆, CR₅R₆CH(OH), CR₅R₆CO, COCR₅R₆; R₁ = H, (un)substituted alkyl, alkenyl, alkynyl, acyl, cycloalkyl, alkylcycloalkyl, heterocyclic, etc.; R₂-R₇ = same or different H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, cycloalkyl, alkylcycloalkyl, aralkyl, heterocyclic, etc.; R₈-R₉ = same or different H, (un)substituted alkyl, alkenyl, alkynyl, aryl, acyl, cycloalkyl, alkylcycloalkyl, aralkyl, heterocyclic, etc.), is described. Thus, reaction of glycine Me ester hydrochloride with pentanal followed by H₃PO₃

10/576,972

phosphonylation and sequential treatment with NH₂OH gave title compound, HONHCOCH₂NHCH(Bu)P(O)(OH)₂. Said compds. are used for producing medicaments for the therapeutic and prophylactic treatment of infections in humans and animals caused by viruses, bacteria, fungi and parasites.

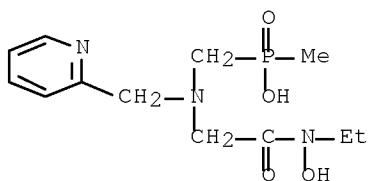
IT 380330-00-7F 380330-02-9F

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of organophosphorous hydroxamic acid derivs. useful for producing medicaments)

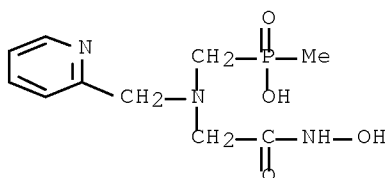
RN 380330-00-7 CAPLUS

CN Phosphinic acid, [[[2-(ethylhydroxyamino)-2-oxoethyl](2-pyridinylmethyl)amino]methyl]methyl- (9CI) (CA INDEX NAME)



RN 380330-02-9 CAPLUS

CN Phosphinic acid, [[[2-(hydroxyamino)-2-oxoethyl](2-pyridinylmethyl)amino]methyl]methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:603630 CAPLUS Full-text

DOCUMENT NUMBER: 119:203630

TITLE: Preparation and GABA antagonistic property of aminoalkanephosphinic acids and their salts

INVENTOR(S): Mickel, Stuart John

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|--------------|
| EP 543780 | A2 | 19930526 | EP 1992-810879 | 19921112 <-- |
| EP 543780 | A3 | 19930901 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

10/576,972

| | | | | |
|---|----|----------|-----------------|--------------|
| EP 767174 | A1 | 19970409 | EP 1996-118735 | 19921112 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| CA 2083307 | A1 | 19930522 | CA 1992-2083307 | 19921119 <-- |
| AU 9228504 | A | 19930527 | AU 1992-28504 | 19921119 <-- |
| AU 662938 | B2 | 19950921 | | |
| JP 05247069 | A | 19930924 | JP 1992-310082 | 19921119 <-- |
| US 5376684 | A | 19941227 | US 1992-979513 | 19921119 <-- |
| NO 9204479 | A | 19930524 | NO 1992-4479 | 19921120 <-- |
| ZA 9208979 | A | 19940415 | ZA 1992-8979 | 19921120 <-- |
| US 5500418 | A | 19960319 | US 1994-308040 | 19940916 <-- |
| AU 9540456 | A | 19960426 | AU 1995-40456 | 19951214 <-- |
| NO 9704115 | A | 19930524 | NO 1997-4115 | 19970908 <-- |
| NO 9704116 | A | 19930524 | NO 1997-4116 | 19970908 <-- |
| NO 9704117 | A | 19930524 | NO 1997-4117 | 19970908 <-- |
| PRIORITY APPLN. INFO.: | | | CH 1991-3404 | A 19911121 |
| | | | EP 1992-810879 | A3 19921112 |
| | | | US 1992-979513 | A3 19921119 |

OTHER SOURCE(S): MARPAT 119:203630

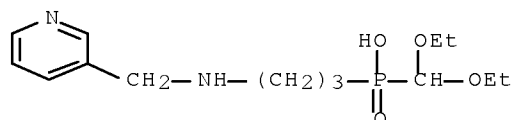
AB The preparation and GABA antagonistic property (no data) of aminoalkanephosphinic acids, $\text{RP(O)(OH)CH}_2\text{CHR}_1\text{CH}_2\text{NR}_2\text{R}_3$ [R = Bu, diethoxymethyl, cyclohexylmethyl, cyclohex-3-enylmethyl, PhCH₂, 4-chlorobenzyl, 4-methylbenzyl, 4-methoxybenzyl, etc.; R₁, R₂, R₃ = H, OH, (un)substituted Ph, etc.] and their salts is claimed. Thus, condensation of 3,5-Cl₂C₆H₃CHO with H₂N(CH₂)₃P(O)(OEt)CH(OEt)₂ and hydride reduction of the resulting Schiff base gave 3,5-Cl₂C₆H₃CH₂NH(CH₂)₃P(O)(OEt)CH(OEt)₂, which in EtOH was treated with LiOH in H₂O at 60° for 24 h to give the title compound 3,5-Cl₂C₆H₃CH₂NH(CH₂)₃P(O)(OH)CH(OEt)₂. Pharmaceutical compns. containing the title compds. are described.

IT 149936-25-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as GABA antagonist)

RN 149936-25-4 CAPLUS

CN Phosphinic acid, (diethoxymethyl)[3-[(3-pyridinylmethyl)amino]propyl]-(9CI) (CA INDEX NAME)



L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:152013 CAPLUS Full-text

DOCUMENT NUMBER: 116:152013

TITLE: Preparation of (3-aminopropyl)phosphinates as antiepileptics

INVENTOR(S): Marescaux, Christian; Bernasconi, Raymond; Schmutz, Markus; Froestl, Wolfgang; Mickel, Stuart J.

PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.

SOURCE: Eur. Pat. Appl., 49 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | | | |
|------------|------|------|-----------------|------|
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|

| | | | | |
|---|----|----------|-----------------|--------------|
| EP 463560 | A1 | 19920102 | EP 1991-110074 | 19910619 <-- |
| EP 463560 | B1 | 19951025 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| IL 98502 | A | 19980405 | IL 1991-98502 | 19910614 <-- |
| IL 114631 | A | 19981206 | IL 1991-114631 | 19910614 <-- |
| AT 129500 | T | 19951115 | AT 1991-110074 | 19910619 <-- |
| ES 2079520 | T3 | 19960116 | ES 1991-110074 | 19910619 <-- |
| CA 2045077 | A1 | 19911223 | CA 1991-2045077 | 19910620 <-- |
| CA 2045077 | C | 20020820 | | |
| HU 59148 | A2 | 19920428 | HU 1991-2064 | 19910620 <-- |
| US 5229379 | A | 19930720 | US 1991-718503 | 19910620 <-- |
| NO 9102429 | A | 19911223 | NO 1991-2429 | 19910621 <-- |
| NO 302476 | B1 | 19980309 | | |
| AU 9179220 | A | 19920102 | AU 1991-79220 | 19910621 <-- |
| AU 641772 | B2 | 19930930 | | |
| ZA 9104791 | A | 19920325 | ZA 1991-4791 | 19910621 <-- |
| JP 04243829 | A | 19920831 | JP 1991-150647 | 19910621 <-- |
| JP 3222487 | B2 | 20011029 | | |
| KR 219315 | B1 | 19991001 | KR 1991-10289 | 19910621 <-- |
| US 5407922 | A | 19950418 | US 1993-56726 | 19930503 <-- |
| US 5545631 | A | 19960813 | US 1995-375878 | 19950120 <-- |

PRIORITY APPLN. INFO.:

| | | |
|----------------|----|----------|
| CH 1990-2092 | A | 19900622 |
| CH 1991-440 | A | 19910213 |
| CH 1991-1199 | A | 19910422 |
| IL 1991-98502 | A | 19910614 |
| US 1991-718503 | A3 | 19910620 |
| US 1993-56726 | A3 | 19930503 |

OTHER SOURCE(S): MARPAT 116:152013

AB R(HO)P(O)CR1R2CR3R4CHR5NR6R7 [I; R = (cyclo)alipharyl, cycloalipharylalipharyl, aralipharyl; R1, R2, R3, R5 = H; R4 = H, OH; R6 = aralipharyl, heteroarylalipharyl; R7 = R6, H, alkyl] were prepared Thus, H2N(CH2)3P(O)(OEt)CH(OEt)2 was stirred 30 min with 4-ClC6H4CHO in MeOH; NaBH3CN in MeOH was added and the mixture was stirred 3 h to give the benzylated amine, which was saponified with LiOH in H2O/EtOH to give 4-ClC6H4CH2NH(CH2)3P(O)[CH(OEt)2]OH. 3-Aminopropyl(cyclohexylmethyl)phosphinic acid at 400 mg/kg i.p. in epileptic rats eliminated spike and wave discharges after 20 min.

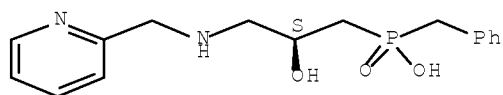
IT 139667-78-0P 139668-25-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiepileptic)

RN 139667-78-0 CAPLUS

CN Phosphinic acid, [2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl](phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

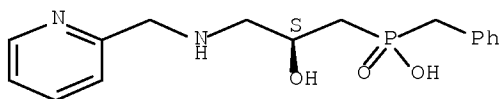
Absolute stereochemistry.



RN 139668-25-0 CAPLUS

CN Phosphinic acid, [2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl](phenylmethyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> s l11 not l12
L13 1 L11 NOT L12

=> dis l13 ibib abs

L13 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:523469 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 143:43971
 TITLE: Preparation of phosphinic acid derivatives and their use as pharmaceuticals
 INVENTOR(S): Froestl, Wolfgang
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 16 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|--------------------------------------|------------|
| WO 2005054259 | A1 | 20050616 | WO 2004-EP13177 | 20041119 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2004295060 | A1 | 20050616 | AU 2004-295060 | 20041119 |
| AU 2004295060 | B2 | 20070830 | | |
| CA 2545589 | A1 | 20050616 | CA 2004-2545589 | 20041119 |
| EP 1687319 | A1 | 20060809 | EP 2004-819605 | 20041119 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | |
| CN 1882598 | A | 20061220 | CN 2004-80034330 | 20041119 |
| BR 2004016226 | A | 20070102 | BR 2004-16226 | 20041119 |
| JP 2007513088 | T | 20070524 | JP 2006-540346 | 20041119 |
| US 2007259835 | A1 | 20071108 | US 2006-576972 | 20060425 |
| MX 2006PA05704 | A | 20060817 | MX 2006-PA5704 | 20060519 |
| IN 2006CN01778 | A | 20070706 | IN 2006-CN1778 | 20060519 |
| PRIORITY APPLN. INFO.: | | | GB 2003-27186 | A 20031121 |
| | | | WO 2004-EP13177 | W 20041119 |
| OTHER SOURCE(S): | | | CASREACT 143:43971; MARPAT 143:43971 | |

AB The present invention relates to phosphinic acid derivs.,
RP(O)(OH)CH₂CHR₁CH₂NR₂R₃ (R = C₃₋₅ alkyl, di(C₁₋₄)alkoxymethyl, (C₃₋₆)cycloalkyl(C₁₋₄)alkyl or benzyl, etc.; R₁ = H, OH; R₂ = oxydihydropyridylmethyl, pyridylmethyl, etc.; R₃ = H, C₁₋₄ alkyl, or a salt thereof), as GABAB antagonists, their preparation, their use as pharmaceuticals and pharmaceutical compns. containing them. Thus, reaction of Et {3-[(6-methoxy-3-pyridylmethyl)amino]-2-(S)-hydroxypropyl}-(cyclohexylmethyl)phosphinate (preparation given) with NaOH in EtOH/H₂O gave phosphinic acid hydrochloride which on treatment with propylene oxide in MeOH gave title compound, {3-[(6-methoxy-3-pyridylmethyl)amino]-2-(S)-hydroxypropyl}-(cyclohexylmethyl)phosphinic acid.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

STN INTERNATIONAL LOGOFF AT 16:52:46 ON 08 FEB 2008